WEST Search History

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DATE: Friday, July 27, 2007

Hide?	Set Name	Query	Hit Count
	DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; PLUR=YES; OP=OR		
☐	L11	L10 and obesity	12
☐	L10	l7 and histamine	127
☐	L8	L7 and cognition	18
☐	L7	L6 and piperidine	875
☐	L6	514/311	2217
☐	L5	L4 and indole	81
☐	L4	L3 and piperidine	132
☐	L3	548/469	464
☐	L2	L1 and piperidine	80
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END OF SEARCH HISTORY

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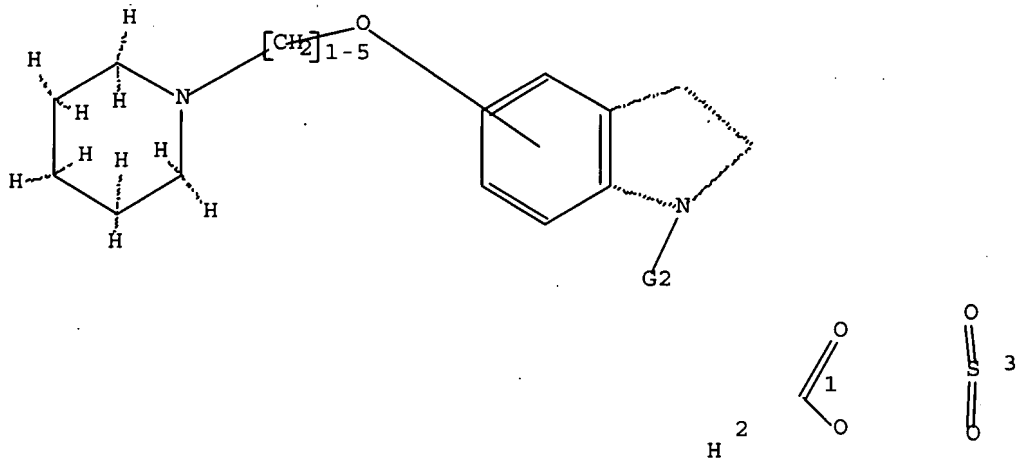

G1 C,H,S
G2 [@1],[@2],[@3]

Structure attributes must be viewed using STN Express query preparation.

=> s l24
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Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 12:10:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 21719 TO ITERATE

9.2% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 425559 TO 443201
PROJECTED ANSWERS: 20 TO 414

L25 1 SEA SSS SAM L24

L26 1 L25

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=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.47    1026.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -47.58

FILE 'REGISTRY' ENTERED AT 12:10:58 ON 27 JUL 2007
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STRUCTURE FILE UPDATES:   26 JUL 2007  HIGHEST RN 943513-14-2
DICTIONARY FILE UPDATES:  26 JUL 2007  HIGHEST RN 943513-14-2

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  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d his

     (FILE 'HOME' ENTERED AT 10:55:18 ON 27 JUL 2007)

     FILE 'REGISTRY' ENTERED AT 10:55:47 ON 27 JUL 2007
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L14        107 S L13

     FILE 'REGISTRY' ENTERED AT 11:42:29 ON 27 JUL 2007
L15            STRUCTURE UPLOADED
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L16             1 S L15
L17           344 S L15 FUL

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L18            47 S L17
L19             0 S L18 AND PY,2003
L20            37 S L18 AND PY<2003
L21            74 S L14 AND PY<2003
L22            73 S L21 NOT L18

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L24               STRUCTURE UPLOADED
                  S L24

     FILE 'REGISTRY' ENTERED AT 12:10:43 ON 27 JUL 2007
L25             1 S L24

     FILE 'CAPLUS' ENTERED AT 12:10:43 ON 27 JUL 2007
L26             1 S L25

     FILE 'REGISTRY' ENTERED AT 12:10:58 ON 27 JUL 2007

=> s l24 ful
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FULL SCREEN SEARCH COMPLETED -   432385 TO ITERATE

100.0% PROCESSED   432385 ITERATIONS                              131 ANSWERS
SEARCH TIME: 00.00.02

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FULL ESTIMATED COST                             172.10    1198.20

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                               0.00     -47.58

FILE 'CAPLUS' ENTERED AT 12:11:25 ON 27 JUL 2007
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FILE COVERS 1907 - 27 Jul 2007  VOL 147 ISS 6
FILE LAST UPDATED: 26 Jul 2007  (20070726/ED)
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http://www.cas.org/infopolicy.html

=> s l27
L28 28 L27

=> s l28 and py< 2004
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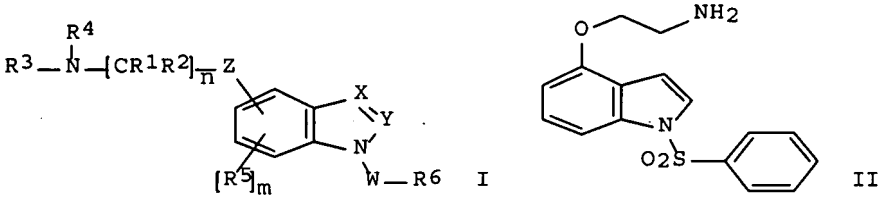
L29 12 L28 AND PY< 2004

=> d abs fbib hitstr 1-12

L29 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
GI



AB The title compds. [I; W = SO2, CO, CONH, CSNH, CH2; X = CR7, N; Y = CR8, N (with the proviso that when X = N, then Y must be CR8); Z = O, SOp, NR9; R1, R2 = H, alkyl; n = 2-4; R3, R4 = H, alkyl, cycloalkyl, (hetero)aryl, etc.; R5 = H, halo, CN, etc.; m = 1-3; p = 0-2; R6 = (un)substituted (hetero)aryl, 8-13 membered bicyclic or tricyclic ring system having a N atom at the bridgehead and optionally containing 1-3 addnl. heteroatoms selected from N, O or S; R7, R8 = H, halo, alkyl, etc.; R9 = H, alkyl, cycloalkyl, etc.], useful for the therapeutic treatment of disorders relating to or affected by the 5-HT6 receptor, were prepared E.g., a multi-step synthesis of II.HCl, starting from 4-hydroxyindole, which showed Ki of 2 nM against 5-HT6 receptor binding, was given. The pharmaceutical composition comprising the compound I is disclosed.

AN 2005:34600 CAPLUS Full-text <<LOGINID::20070727>>
DN 142:134588
TI Preparation of 1-arylsulfonyl- or 1-alkylsulfonylbenzazoles as 5-hydroxytryptamine-6 ligands
IN Zhou, Ping; Kelly, Michael Gerard
PA Wyeth, John, and Brother Ltd., USA
SO U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 695,490.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 2005009819	A1	20050113	US 2004-888810		20040709
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				US 2002-55365	A3	20020122
				US 2002-314726	A3	20021209
				US 2003-695490	A2	20031028
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PATENT FAMILY INFORMATION:

FAN 2002:575052

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PI	WO 2002059088	A1	20020801	WO 2002-US1950		20020118
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ES 2250638	T3	20060416	ES 2002-2720837		20020118
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MX 2003PA06479	A	20030922	MX 2003-PA6479		20030718
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NO 2003003300	A	20030903	NO 2003-3300		20030722
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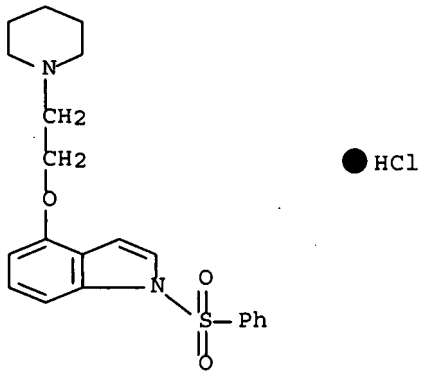
			WO 2002-US1950	W	20020118
ZA 2003006542	A	20051121	ZA 2003-6542		20030821
			US 2001-263425P	P	20010123

OS MARPAT 142:134588

IT 444190-38-9P 444190-39-0P 825634-53-5P
825634-54-6P 825634-55-7P 825634-56-8P
825634-62-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-arylsulfonyl- or 1-alkylsulfonylbenzazoles as 5-hydroxytryptamine-6 ligands)

RN 444190-38-9 CAPLUS

CN 1H-Indole, 1-(phenylsulfonyl)-4-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 444190-39-0 CAPLUS

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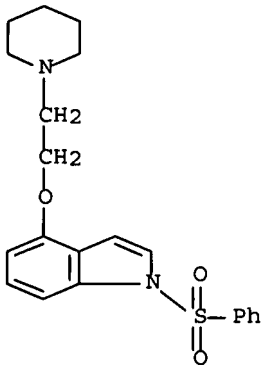

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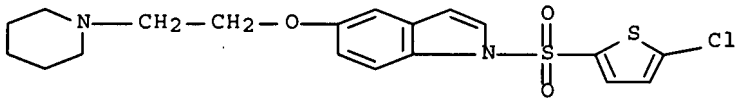
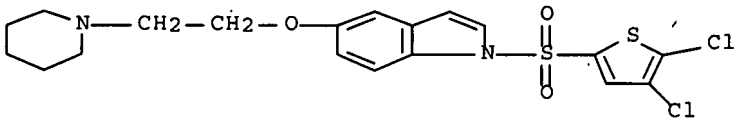
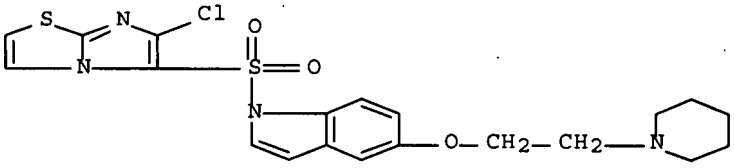


RN 825634-54-6 CAPLUS
CN 1H-Indole, 1-[(4,5-dichloro-2-thienyl)sulfonyl]-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 825634-55-7 CAPLUS
CN 1H-Indole, 1-[(6-chloroimidazo[2,1-b]thiazol-5-yl)sulfonyl]-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 825634-56-8 CAPLUS
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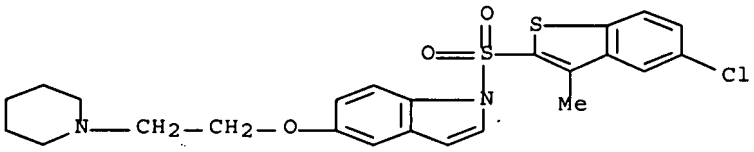
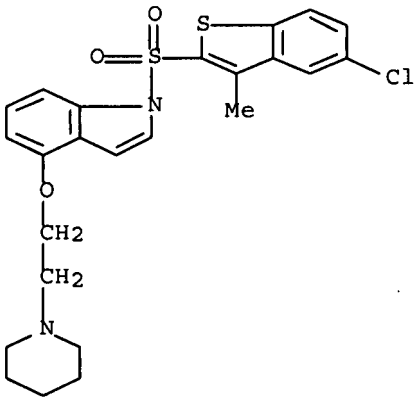
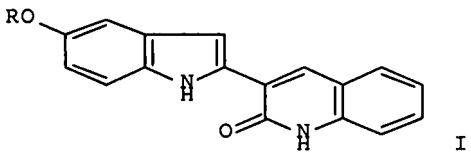


RN 825634-62-6 CAPLUS
CN 1H-Indole, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



L29 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
GI



I

AB A concise and efficient synthesis of the novel indol-2-yl-1H-quinolin-2- one ring system found in the known selective KDR kinase inhibitors I [R = (CH2)2OMe, (CH2)2NC5H10, (CH2)2NMe(CH2)2OMe] is presented.

AN 2003:753397 CAPLUS Full-text <<LOGINID::20070727>>

DN 139:350602

TI Rapid and Efficient Synthesis of 1H-Indol-2-yl-1H-quinolin-2-ones

AU Kuethe, Jeffrey T.; Wong, Audrey; Davies, Ian W.

CS Department of Process Research, Merck Co. Inc., Rahway, NJ, 07065, USA

SO Organic Letters (2003), 5(21), 3975-3978
CODEN: ORLEF7; ISSN: 1523-7060

PB American Chemical Society

DT Journal

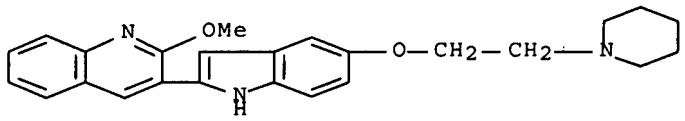
LA English

OS CASREACT 139:350602

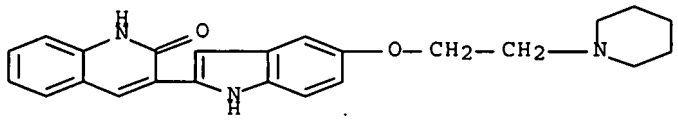
IT 616882-53-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Preparation of indolylquinolinones via palladium catalyzed reductive cyclization of intermediate quinoline substituted 2-nitrostyrenes)

RN 616882-53-2 CAPLUS

CN Quinoline, 2-methoxy-3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)



IT 335649-64-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Preparation of indolylquinolinones via palladium catalyzed reductive cyclization of intermediate quinoline substituted 2-nitrostyrenes)
RN 335649-64-4 CAPLUS
CN 2(1H)-Quinolinone, 3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
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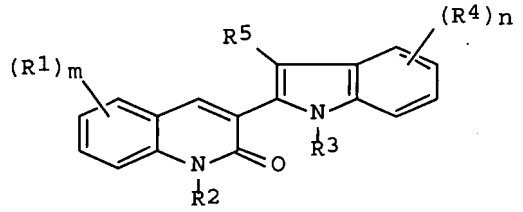

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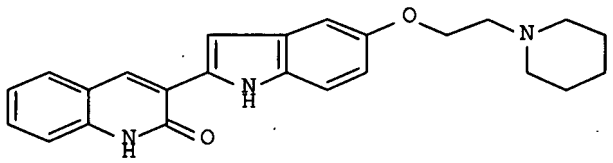

II

AB Title compds., including I (R groups undefined), were prepd. and inhibitors, regulators, and/or modulators of tyrosine kinase signal transduction. For example, 1-(tert-butoxycarbonyl)-5-[(tert- butyldimethylsilyl)oxy]-1H-indol-2-ylboronic acid was coupled with 2-chloro-3-iodoquinoline (preparation of starting materials given) in the presence of Pd(PPh3)4 and K3PO4 in dioxane to give the protected 3-(2-indolyl)quinoline derivative Deprotection using triethylamine trihydrofluoride afforded the alc. Reaction with 1-(2-chloroethyl)piperidine•HCl and Cs2CO3 in DMF followed by reflux at 110° in

AcOH and H2O for 12 h provided II. Compds. of the invention inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.01 μM - 5.0 μM. Thus, I and compns. containing I are useful for the treatment of tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals (no data).

AN 2003:202621 CAPLUS Full-text <<LOGINID::20070727>>

DN 138:238027

TI Preparation of 3-(2-indolyl)quinolin-2(1H)-ones as tyrosine kinase inhibitors

IN Peckham, Jennifer P.; Hoffman, William F.; Arrington, Kenneth L.; Fraley, Mark E.; Hartman, George D.; Kim, Yuntae; Hanney, Barbara; Spencer, Keith L.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI WO 2003020699	A2	20030313	WO 2002-US27114		20020826	<--
WO 2003020699	A3	20030522				
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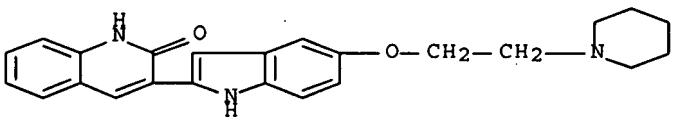
US 7186723	B2	20070306				
			US 2001-316123P	P	20010830	
			WO 2002-US27114	W	20020826	

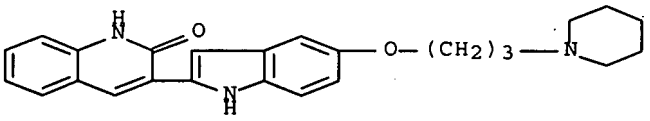
IT 335649-64-4P, 3-[5-[2-(Piperidin-1-yl)ethoxy]-1H-indol-2-yl]-1H-quinolin-2-one 335649-68-8P, 3-[5-[3-(Piperidin-1-yl)propoxy]-1H-indol-2-yl]-1H-quinolin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tyrosine kinase inhibitor; preparation of (indolyl)quinolinones for treatment of cancer, atherosclerosis, inflammatory diseases, and other tyrosine kinase-dependent conditions)

RN 335649-64-4 CAPLUS

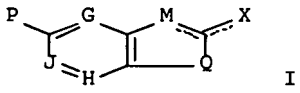
CN 2(1H)-Quinolinone, 3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)



RN 335649-68-8 CAPLUS
CN 2(1H)-Quinolinone, 3-[5-[3-(1-piperidinyl)propoxy]-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



L29 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
GI



I

AB Disclosed are novel compds. (shown as I; e.g. 1-benzyl-5-(2-diethylaminoethoxy)-2-methyl-1H-indole-3-carboxylic acid) or a physiol. acceptable salt, amide, ester or prodrug thereof. The compds. can be used to modulate (antagonize, agonize) chemokine receptor function. Also disclosed is a method for treating a patient having an inflammatory disease and/or viral infection comprising administering an effective amount of I. In particular embodiments, the invention is a method for treating a patient infected with HIV. The compds. of the present invention were evaluated using a described CCR-5 receptor binding assay. Particularly preferred compds. of the invention can inhibit the binding of sCD-4/GP-120 to CCR-5 by about fifty percent at a concentration of ≤ .apprx.200 μM (IC50 ≤ 200 μM). For example the IC50 values for 1-[2-(3-benzyloxycarbonyl-2-methyl-1H-indol-5-yloxy)ethyl]-3-phenylpyrrolidinium chloride and 1-benzyl-5-(2-diethylaminoethoxy)-2- methyl-1H-indole-3-carboxylic acid benzyl ester were 18.0 and 18.2 μM, resp. 2-Methyl-5-(2-pyrrolidine-1-ylethylamino)-1H-indole-3-carboxylic acid benzyl ester caused 50% inhibition at 17.5 μM. 2-Methyl-5-(2-pyrrolidin-1-ylethyl)-1H-indole-3-carboxylic acid benzyl ester hydrochloride and 5-(2-dimethylaminoethoxy)-2-methyl-1H-indole-3- carboxylic acid (S)-1-phenylethyl ester had IC50s of .apprx.4.8 μM. 2-Methyl-5-[2-[methyl(tetrahydropyran-4-yl)amino]ethyl]-1H-indole-3- carboxylic acid benzyl ester had an IC50 of 13.9 ± 1.6 μM. 2-Methyl-5-(pyrrolidin-1-ylethoxy)-1H-indole-3-carboxylic acid benzyl ester, 2-methyl-5-(1-methyl-2-pyrrolidin-1-ylpropoxy)-1H-indole-3-carboxylic acid benzyl ester and 5-(2-diethylaminoethyl)-2-methyl-1H- indole-3-carboxylic acid benzyl ester hydrochloride had IC50s of 20.3 ± 2.8 μM, 5.52 ± 1.1 μM and 1.93 ± 0.32 μM, resp. Preferred compds. can inhibit the binding of sCD-4/GP-120 to CCR-5 with IC50s of .apprx.10 μM to .apprx.100 μM or .apprx.1 nM to .apprx.10 μM. Although the methods of preparation are not

claimed, >200 example prepns. are included. In I, G is CR1 or N; J is CR2 or N; H is CR3 or N; M is C-Y, CH-Y, N-Y or N; Q is NR4, SR4, O, SO or SO2. X is H, halogen, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, O, NR5, S, SR5or NR5R6. Y is CO2R17, C(O)NR17R18, R19, C(O)R17, 3-R17-1,2,4-oxadiazol-5-yl, 5-R17-1,3,4-oxadiazol-2-yl. P is -A-L-N-containing heteroaryl, -A-L-substituted N-containing heteroaryl, -A-L-NR7R8, -(CR10R11)c-cyclo-CR9(CH2)a(CH2)bNR7, wherein a, b and c are independently, 0-4 with provisos; A is 0, N(R12), a bond or is absent. L is C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, a bond, or -C(R13)(R14)C(R15)(R16)- wherein A is attached on the right and N is attached on the left. R1, R2, R3, R11, R13, R14, R15, R16 and R19 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, halogen, C1-C8 alkoxy, C(O)R22, CO2R22, C(O)NR22R23, NR22R23, CZR22R23. Z is aryl, substituted aryl, heteroaryl or substituted heteroaryl; R22 and R23 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl; or R22 and R23 taken together with the atoms to which they are bonded can form a 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. R4-R9, R12, R17 and R18 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, C(O)R20, CO2R20, CZ'R20R21; R20 and R21 are independently H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl or alkylheteroaryl; or R20 and R21 taken together with the atoms to which they are bonded can form a 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S; Z' is aryl, substituted aryl, heteroaryl or substituted heteroaryl; or R1 taken together with any one of R7, R8, R9, R10, R11, R12, R13, R14, R15 or R16 and the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. R2 taken together with any one of R3, R7, R8, R9, R10, R11, R12, R13, R14, R15 or R16 and the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. P taken together with either R1 or R2 and the atoms to which they are bonded form a 5-8 membered substituted nonarom. ring that can contain a heteroatom selected from O, N and S. Any two of R7-R17, taken together with the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S; with provisos.

AN 2002:716249 CAPLUS Full-text <<LOGINID::20070727>>

DN 137:232553

TI Preparation of functionalized indoles, benzimidazolones and related heterocycles as modulators of CCR-5 chemokine receptor and use in treating patients with HIV

IN Harriman, Geraldine C. B.; Carson, Kenneth G.; Flynn, Daniel L.; Solomon, Michael E.; Song, Yuntao; Trivedi, Bharat K.; Roth, Bruce D.; Kolz, Christine N.; Pham, Ly; Sun, Kuai-Lin

PA Millennium Pharmaceuticals, Inc., USA; Warner-Lambert Company

SO PCT Int. Appl., 307 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI WO 2002072549	A1	20020919	WO 2002-US7559		20020312	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
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AU 2002252313	A1	20020924	AU 2002-252313		20020312	<--
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			WO 2002-US7559	W	20020312	
US 2003064991	A1	20030403	US 2002-96361		20020312	<--
US 6951848	B2	20051004				
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EP 1377549	A1	20040107	EP 2002-721378		20020312	
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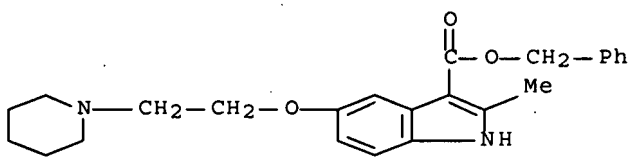
			US 2001-275248P	P	20010312	
			WO 2002-US7559	W	20020312	
US 2005075348	A1	20050407	US 2004-983929		20041108	
			US 2001-275248P	P	20010312	
			US 2002-96361	A1	20020312	

OS MARPAT 137:232553
IT 459449-01-5P, 2-Methyl-5-(2-(piperidin-1-yl)ethoxy)-1H-indole-3-carboxylic acid benzyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of functionalized indoles, benzimidazolones and related heterocycles as modulators of CCR-5 chemokine receptor and use in treating patients with HIV)
RN 459449-01-5 CAPLUS
CN 1H-Indole-3-carboxylic acid, 2-methyl-5-[2-(1-piperidinyl)ethoxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
AB R6Z2Z1Z(CR1R2)nNR3R4 [R1,R2 = H or alkyl; R3,R4 = H, alkyl, (hetero)aryl, etc.; R6 = (un)substituted alkyl or -(hetero)aryl; Z = O, SO0-2, (un)substituted imino; Z1 = e.g., (un)substituted indole- or -indazole-1,m-

diyl; Z2 = SO2, CO, CONH, CSNH, CH2; m = 4-7; n = 2-4] were prepared Thus, 4-hydroxyindole was converted in 4 steps to 2-(1-phenylsulfonyl-1H-indol-4-yloxy)ethanmaine. Data for biol. activity of title compds. were given.

AN 2002:575052 CAPLUS Full-text <<LOGINID::20070727>>

DN 137:125157

TI Preparation of 2-(1-phenylsulfonylindol- or -indazol-4-yloxy)ethanamines as 5-HT6 receptor ligands

IN Zhou, Ping; Kelly, Michael Gerard

PA Wyeth, John, and Brother Ltd., USA

SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	WO 2002059088	A1	20020801	WO 2002-US1950		20020118	<--
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	TW 593278	B	20040621	TW 2001-90132299		20011226	
				US 2001-263425P	P	20010123	
	CA 2435566	A1	20020801	CA 2002-2435566		20020118	<--
				US 2001-263425P	P	20010123	
				WO 2002-US1950	W	20020118	
	AU 2002251811	A1	20020806	AU 2002-251811		20020118	<--
				US 2001-263425P	P	20010123	
				WO 2002-US1950	W	20020118	
	EP 1353904	A1	20031022	EP 2002-720837		20020118	<--
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	BR 2002006633	A	20040217	BR 2002-6633		20020118	
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	HU 200401009	A2	20040830	HU 2004-1009		20020118	
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	AT 312078	T	20051215	AT 2002-720837		20020118	
				US 2001-263425P	P	20010123	
				WO 2002-US1950	W	20020118	
	ES 2250638	T3	20060416	ES 2002-2720837		20020118	
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MX 2003PA06479	A	20030922	MX 2003-PA6479		20030718	<--
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ZA 2003006542	A	20051121	ZA 2003-6542		20030821	
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PATENT FAMILY INFORMATION:

FAN 2005:34600

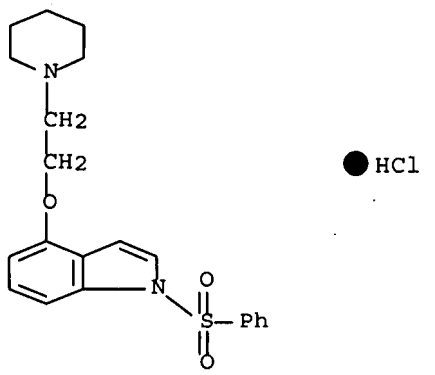
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI US 2005009819	A1	20050113	US 2004-888810		20040709
			US 2001-263425P	P	20010123
			US 2002-55365	A3	20020122
			US 2002-314726	A3	20021209
			US 2003-695490	A2	20031028
US 6509357	B1	20030121	US 2002-55365		20020122
			US 2001-263425P	P	20010123
US 2003149018	A1	20030807	US 2002-314726		20021209
US 6710069	B2	20040323			
			US 2001-263425P	P	20010123
			US 2002-55365	A3	20020122
US 2004092564	A1	20040513	US 2003-695490		20031028
			US 2001-263425P	P	20010123
			US 2002-55365	A3	20020122
			US 2002-314726	A3	20021209
US 2004087637	A1	20040506	US 2003-696433		20031029
US 6919354	B2	20050719			
			US 2001-263425P	P	20010123
			US 2002-55365	A3	20020122
			US 2002-314726	A3	20021209

OS MARPAT 137:125157

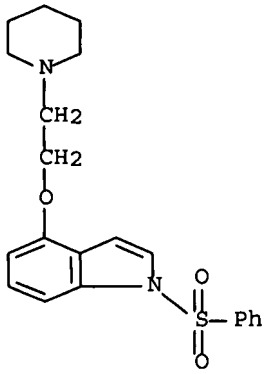
IT 444190-38-9P 444190-39-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(1-phenylsulfonylindol- or -indazol-4-yloxy)ethanamines as
5-HT6 receptor ligands)

RN 444190-38-9 CAPLUS

CN 1H-Indole, 1-(phenylsulfonyl)-4-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 444190-39-0 CAPLUS
CN 1H-Indole, 1-(phenylsulfonyl)-4-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)

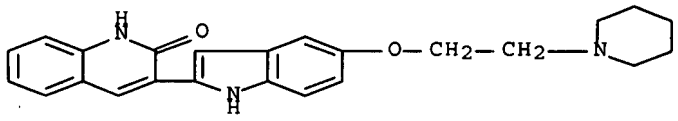


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

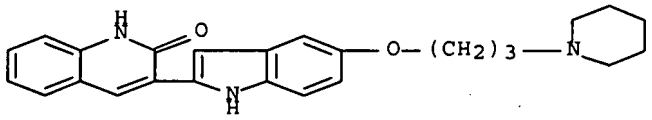
L29 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
AB The invention relates to methods of treating cancer using a combination of a compound which is a PSA conjugate and a compound which is an inhibitor of angiogenesis and to methods of preparing such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agents. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)- Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg = cyclohexylglycine) and 3-(3-thienyl)-6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidine is an example of an angiogenesis inhibitor (syntheses given).
AN 2002:276430 CAPLUS Full-text <<LOGINID::20070727>>
DN 136:310187
TI Treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis
IN Defeo-Jones, Deborah; Heimbrook, David C.; Jones, Raymond E.
PA USA
SO U.S. Pat. Appl. Publ., 102 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI US 2002041880	A1	20020411	US 2001-896251		20010629 <--
			US 2000-215934P	P	20000705

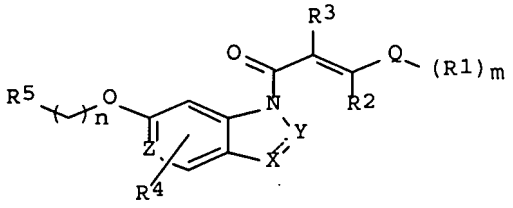
OS MARPAT 136:310187
IT 335649-64-4P 335649-68-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis)
RN 335649-64-4 CAPLUS
CN 2(1H)-Quinolinone, 3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)



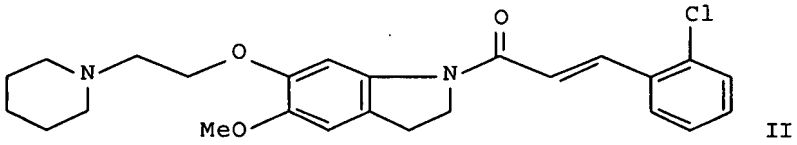
RN 335649-68-8 CAPLUS
CN 2(1H)-Quinolinone, 3-[5-[3-(1-piperidinyl)propoxy]-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



L29 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
GI



I



II

AB The invention relates to novel cinnamide compds., which have 5-HT2C antagonist activity, of formula I, or pharmaceutically acceptable salts thereof [in which: ring Q is Ph or naphthyl; R1 is halo, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, OH, (di)(C1-6alkyl)amino, NO2, CN, CF3, OCF3, aryl, arylC1-6alkyl, arylC1-6alkyloxy or arylC1-6alkylthio; m is 0-5; R2 and R3 are independently H or C1-6alkyl; R4 is H, halo, C1-6alkyl, C1-6alkoxy, aryl, cyano, haloC1-6alkyl or OCF3; Z is C or N; R5 is either: (i) a group NR6R7 where R6 and R7 are independently H, (un)substituted C1-6alkyl; or (ii) (un)substituted N-linked heterocycle; or (iii) an (un)substituted C-linked heterocycle; n = 0-3, provided that n in not 0 when R5 is a group (i) or (ii); dashed line is an optional double bond, where X and Y are independently CR8R9 (when single bond) or CR10 (when double bond); wherein R8, R9 and R10 are independently H or C1-6alkyl]. Also disclosed are processes for preparation of I, compns. containing them, and their use in the treatment of CNS and other disorders. In

particular, their use for treating anxiety and/or depression is claimed. A total of 171 examples and 73 intermediate prepns. are given. For instance, 2-methoxy-5-nitrophenol was etherified with 1-(2-chloroethyl)piperidine- HCl (70%), followed by hydrogenation of nitro to amino (100%), reductive alkylation of amino with (MeO)2CHCHO (88%), cyclization to form an indole (73%), reduction to give an indoline (72%), and N-coupling with 2-chlorocinnamic acid (40%), to give preferred (as HCl salt) invention compound (E)-II. In a test for inhibition of[3H]-mesulergine binding at human 5-HT2C clones expressed in HEK 293 cells in vitro, I had pKi values in the range of 7.5-9.8.

AN 2002:142668 CAPLUS Full-text <<LOGINID::20070727>>
DN 136:183704
TI Indoline derivatives as 5-HT2C antagonists, useful as anxiolytics and antidepressants
IN Bromidge, Steven Mark; Lovell, Peter John; Moss, Stephen Frederick; Serafinowska, Halina Teresa
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

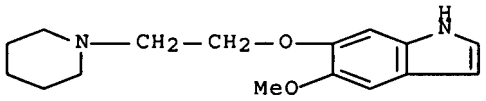
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002014273	A1	20020221	WO 2001-EP9273	20010809 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2000-19950	A 20000812
AU 2001095455	A5	20020225	AU 2001-95455	20010809 <--
			GB 2000-19950	A 20000812
			WO 2001-EP9273	W 20010809
EP 1309551	A1	20030514	EP 2001-976067	20010809 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			GB 2000-19950	A 20000812
			WO 2001-EP9273	W 20010809
JP 2004506040	T	20040226	JP 2002-519418	20010809
			GB 2000-19950	A 20000812
			WO 2001-EP9273	W 20010809

OS MARPAT 136:183704
IT 399579-85-2P, 5-Methoxy-6-[2-(piperidin-1-yl)ethoxy]-1H-indole 399579-88-5P, 5-Methoxy-6-[2-(piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole 399580-30-4P, 5-Bromo-6-[2-(piperidin-1-yl)ethoxy]-2,3-dihydro-1-indole 399580-36-0P, 6-[2-(Piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole-5-carbonitrile 399580-37-1P, 5-Ethyl-6-[2-(piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole 399580-38-2P, 6-[2-(Piperidin-1-yl)ethoxy]-5-trifluoromethyl-2,3-dihydro-1H-indole 399580-45-1P, 5-Fluoro-6-[2-(piperidin-1-yl)ethoxy]-1H-indole 399580-46-2P, 5-Fluoro-6-[2-(piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole 399580-75-7P, 6-[2-(Piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of indoline derivs. as 5-HT2C antagonists)

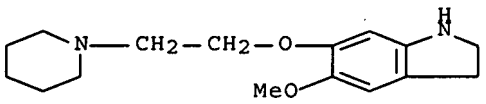
RN 399579-85-2 CAPLUS

CN 1H-Indole, 5-methoxy-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



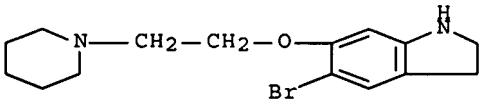
RN 399579-88-5 CAPLUS

CN 1H-Indole, 2,3-dihydro-5-methoxy-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



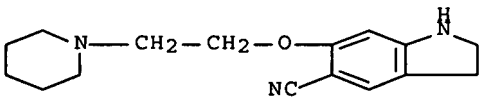
RN 399580-30-4 CAPLUS

CN 1H-Indole, 5-bromo-2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



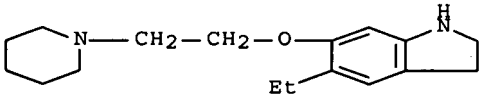
RN 399580-36-0 CAPLUS

CN 1H-Indole-5-carbonitrile, 2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)

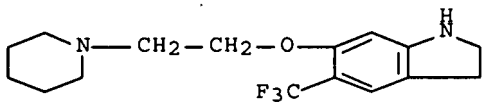


RN 399580-37-1 CAPLUS

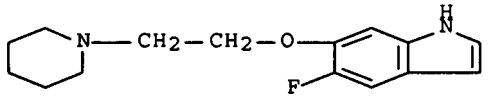
CN 1H-Indole, 5-ethyl-2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



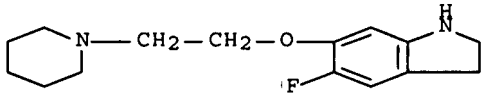
RN 399580-38-2 CAPLUS
CN 1H-Indole, 2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)



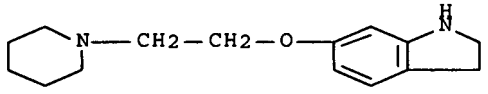
RN 399580-45-1 CAPLUS
CN 1H-Indole, 5-fluoro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 399580-46-2 CAPLUS
CN 1H-Indole, 5-fluoro-2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 399580-75-7 CAPLUS
CN 1H-Indole, 2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)

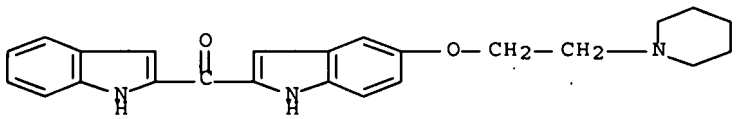


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
AB The novel lead bis(1H-2-indolyl)methanone inhibits autophosphorylation of platelet-derived growth factor (PDGF) receptor tyrosine kinase in intact cells. Various substituents in the 5- or 6-position of one indole ring increase or preserve potency, whereas most modifications of the ring structures and of the methanone group as well as substitution at both indoles result in weak or no activity. An ATP binding site model, derived by homol. from the FGFR-1 tyrosine kinase crystal structure suggesting hydrogen bonds of one indole NH and the methanone oxygen with the backbone carbonyl and amide,

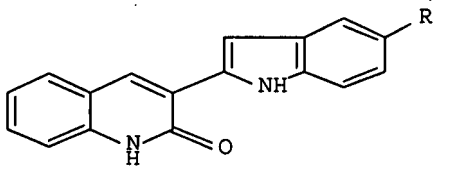
resp., of Cys684, explains why only one indole moiety is open for substitution and locates groups in the 5- or 6-position outside the pocket. Some of the most active derivs., inhibit both isoforms of the PDGF receptor kinase in intact cells, with IC50 of 0.1-0.3 μM, and purified PDGFβ-receptor in vitro, with IC50 of 0.09, 0.1, or 0.02 μM, resp. PDGF-stimulated DNA synthesis is inhibited by these derivs. with IC50 values of 1-3 μM. Kinetic anal. of one compound showed an ATP-competitive mode of inhibition. The compds. are inactive or weakly active toward a number of other tyrosine kinases, including the FGF receptor 1, EGF receptor, and c-Src kinase, as well as toward serine-threonine kinases, including different PKC isoforms and GRK2, and appear therefore selective for PDGF receptor inhibition.

AN 2002:80889 CAPLUS Full-text <<LOGINID::20070727>>
DN 136:272658
TI Bis(1H-2-indolyl)methanones as a Novel Class of Inhibitors of the Platelet-Derived Growth Factor Receptor Kinase
AU Mahboobi, Siavosh; Teller, Steffen; Pongratz, Herwig; Hufsky, Harald; Sellmer, Andreas; Botzki, Alexander; Uecker, Andrea; Beckers, Thomas; Baasner, Silke; Schaechtele, Christoph; Ueberall, Florian; Kassack, Matthias U.; Dove, Stefan; Boehmer, Frank-D.
CS Faculty of Chemistry and Pharmacy, Institute of Pharmacy, University of Regensburg, Regensburg, D-93040, Germany
SO Journal of Medicinal Chemistry (2002), 45(5), 1002-1018
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 136:272658
IT 249762-71-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn and structure-activity relationship study of bis(1H-2-indolyl)methanones, a novel class of inhibitors of platelet-derived growth factor receptor kinase)
RN 249762-71-8 CAPLUS
CN Methanone, 1H-indol-2-yl[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
GI



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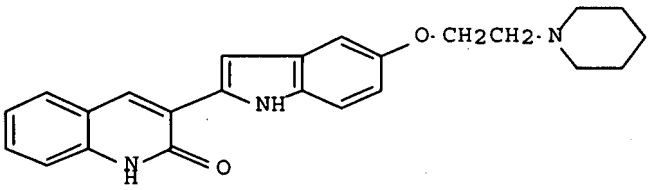

II

AB Title compds. [I; R = (CH3)2NCH2CH(CH3)CH2O, (CH3OCH2CH2)(C6H5CH2)NCH2CH2O , (CH3CH2)2NCH2CH2O, (CH3)(C6H5CH2)NCH2CH2CH2O, (CH3OCH2CH2)(HOOCCH2CH2)NCH2CH2O, (CH3OCH2CH2)(CH3SO2)NCH2, cycloalkylaminoalkyl, heterocyclylalkyl, etc.], stereoisomer, and pharmaceutically acceptable salts are prepared and inhibit, regulate and/or modulate tyrosine kinase signal transduction. Title compds. are tested on VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.001-5.0 μM. Pharmaceutical compns. and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, etc. are discussed. Thus, the title compound II was prepared

AN 2001:300706 CAPLUS Full-text <<LOGINID::20070727>>

DN 134:326411

TI Preparation of 3-(2-indolyl)quinoline-2-one derivatives as tyrosine kinase inhibitors

IN Arrington, Kenneth L.; Bilodeau, Mark T.; Fraley, Mark E.; Hartman, George D.; Hoffman, William F.; Hungate, Randall W.; Kim, Yuntae

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001029025	A2	20010426	WO 2000-US28625	20001016 <--
	WO 2001029025	A3	20011101		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-160356P	P 19991019
	CA 2387351	A1	20010426	CA 2000-2387351	20001016 <--
				US 1999-160356P	P 19991019
				WO 2000-US28625	W 20001016
	BR 2000014843	A	20020611	BR 2000-14843	20001016 <--
				US 1999-160356P	P 19991019

				WO 2000-US28625	W	20001016
EP 1226136	A2	20020731		EP 2000-978230		20001016 <--
EP 1226136	B1	20041229				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL						
				US 1999-160356P	P	19991019
				WO 2000-US28625	W	20001016
TR 200201051	T2	20020923		TR 2002-1051		20001016 <--
				US 1999-160356P	P	19991019
HU 200203323	A2	20030228		HU 2002-3323		20001016 <--
				US 1999-160356P	P	19991019
				WO 2000-US28625	W	20001016
JP 2003512369	T	20030402		JP 2001-531825		20001016 <--
JP 3822494	B2	20060920				
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				WO 2000-US28625	W	20001016
EE 200200201	A	20030616		EE 2002-201		20001016 <--
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				WO 2000-US28625	W	20001016
NZ 518001	A	20040528		NZ 2000-518001		20001016
				US 1999-160356P	P	19991019
				WO 2000-US28625	W	20001016
AU 778588	B2	20041209		AU 2001-15710		20001016
				US 1999-160356P	P	19991019
				WO 2000-US28625	W	20001016
AT 286045	T	20050115		AT 2000-978230		20001016
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				WO 2000-US28625	W	20001016
PT 1226136	T	20050429		PT 2000-978230		20001016
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ES 2234698	T3	20050701		ES 2000-978230		20001016
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				US 2000-690598	A	20001017
ZA 2002002985	A	20030416		ZA 2002-2985		20020416 <--
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NO 2002001820	A	20020523		NO 2002-1820		20020418 <--
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				WO 2000-US28625	W	20001016
MX 2002PA03887	A	20020930		MX 2002-PA3887		20020418 <--
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US 6794393	B1	20040921		US 2002-110872		20020418
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				WO 2000-US28625	W	20001016
BG 106710	A	20030331		BG 2002-106710		20020516 <--
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				WO 2000-US28625	W	20001016
HK 1054931	A1	20060317		HK 2003-107148		20031003
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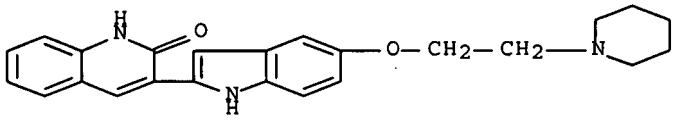
				WO 2000-US28625	W	20001016
US 2005096344	A1	20050505		US 2004-900662		20040728
				US 1999-160356P	P	19991019
				WO 2000-US28625	W	20001016
				US 2002-110872	A1	20020418
JP 2006206609	A	20060810		JP 2006-127244		20060501
				US 1999-160356P	P	19991019

OS MARPAT 134:326411

IT 335649-64-4P 335649-68-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(2-indolyl)quinoline-2-one derivs. as tyrosine kinase inhibitors)

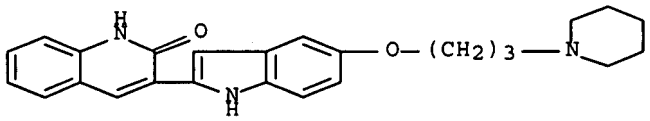
RN 335649-64-4 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)



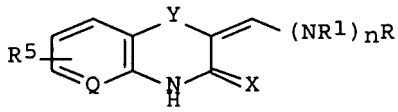
RN 335649-68-8 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-[3-(1-piperidinyl)propoxy]-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

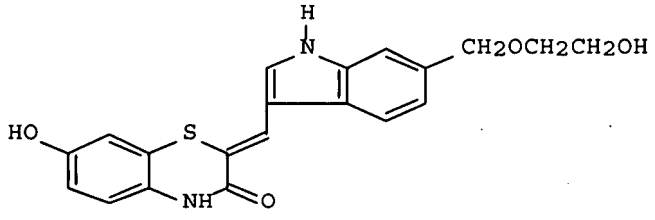


L29 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

GI



I



II

AB Title compds. [I; Q = N, CR2; X = S, O, NOR3; Y = S, O, SO, SO2; R, R1 independently = H, aliphatic, aryl, hetercyclyl; R2 = H, CH3; R3 = H, COR4; R4 = alkyl, alkenyl, alkynyl, aryl; n = 0, 1; R5 = 7-Cl, 7-CH3, 6-CF3, 6-CH3, 6-Cl, 7-OCH3, 6-CH3CONH, 7-OH, etc.] are prepared Title compds. and physiol. acceptable salts are inhibitors of receptor tyrosine kinase or non-receptor tyrosine kinase activity which involve in angiogenic process. Thus, title compds. can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor and can be used to treat cancer and hyperproliferative disorders. Title compound II was prepared

AN 2000:881149 CAPLUS Full-text <<LOGINID::20070727>>

DN 134:42147

TI Preparation and effects of benzothiazinones and benzoxazinones as protein kinase inhibitors

IN Rafferty, Paul; Calderwood, David; Arnold, Lee D.; Gonzalez Pascual, Beatriz; Ortego Matinez, Jose L.; Perez de Vega, Maria J.; Fernandez, Isabel F.

PA Basf Aktiengesellschaft, Germany

SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	WO 2000075139	A2	20001214	WO 2000-US15324		20000602	<--
	WO 2000075139	A3	20010329				
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BG 106238 A 20020830 BG 2001-106238 20011219 <--
US 1999-137410P P 19990603
WO 2000-US15324 W 20000602

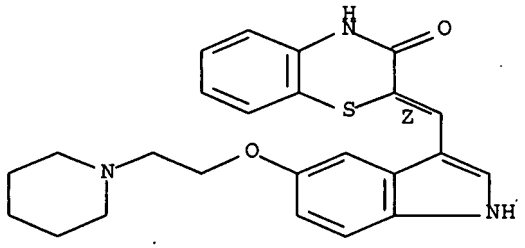
OS MARPAT 134:42147

IT 312970-99-3P 312971-09-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and effects of benzothiazinones and benzoxazinones as protein kinase inhibitors)

RN 312970-99-3 CAPLUS

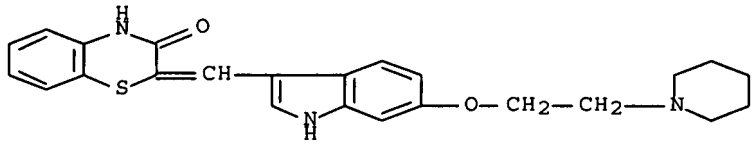
CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[2-(1-piperidinyl)ethoxy]-1H-indol-3-yl]methylene]-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



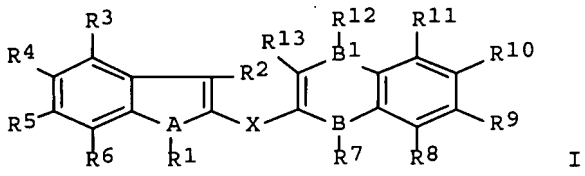
RN 312971-09-8 CAPLUS

CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[6-[2-(1-piperidinyl)ethoxy]-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)



L29 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

GI



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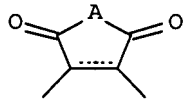

AB Indole derivs. I [A = N, O, S; B, B1 = C, N, O, S, bond; X = (un)substituted alkylene, Q; R1, R7, R12 = H, alkyl, aminoalkyl, PhSO2, alkylsilylmethoxymethyl, carbohydrate; R3-R6, R8-R11 = H, (un)substituted alkyl, alkoxy, acyloxy, NO2, halogen; R2R13 = bond, CO, Q; R2, R13 = H, QR14; R14 = halogen, substituted alkylamino] were prepared for use as tyrosine kinase inhibitors in treating malignant and other diseases caused by pathol. cell proliferation. Thus, 1-phenylsulfonylindole was added to 1-phenylsulfonyl-2-indolecarboxaldehyde to give bis(1-phenylsulfonylindol- 2-yl)methanol which was oxidized to the ketone and desulfonylated to give bis(2-indolyl)methanone. This compound had an IC50 of 1 μM for inhibition of tyrosine phosphorylation.

AN 1999:723034 CAPLUS Full-text <<LOGINID::20070727>>

DN 131:336939

TI Indole derivatives and their use in the treatment of malignant and other diseases caused by pathological cell proliferation

IN Mahboobi, Siavosh; Kuhr, Sabine; Pongratz, Herwig; Popp, Alfred; Hufsky, Harald; Bohmer, Frank-d; Teller, Steffen; Uecker, Andrea; Beckers, Thomas

PA Asta Medica Aktiengesellschaft, Germany

SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

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PI	WO 9957117	A2	19991111	WO 1999-DE1214	19990422 <--
	WO 9957117	A3	20010412		
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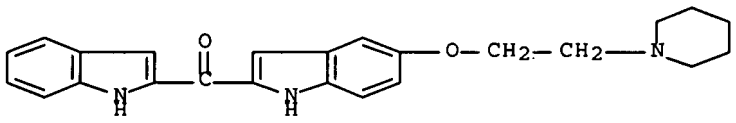
OS MARPAT 131:336939

IT 249762-71-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bis(indolyl)methane derivs. as tyrosine kinase inhibitors)

RN 249762-71-8 CAPLUS

CN Methanone, 1H-indol-2-yl[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



L29 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

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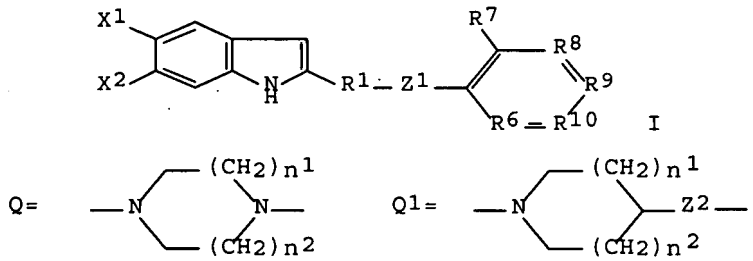

AB Indole derivs. I [R1 = CH2, CO; Z1 = Q (n1, n2 = 1, 2), Q1 (Z2 = C1-4 alkylimino; n1, n2 = 1, 2); X1, X2 = H, alkoxy, O(CH2CH2O)1-3X3 (X3 = alkyl, pyridyl), N-(un)substituted aminoalkanesulfonyloxy, substituted NH2, etc.; ring containing R6, R8, R9, R10 = e.g., substituted pyridyl] were prepared for treatment of AIDS (no data). Thus, 1-ethyl-3-(dimethylaminopropyl)carbodiimide is added to a solution of 1-[3-[(1-methylethyl)amino]-2-pyridinyl]piperazine and 5-nitroindole-2-carboxylic acid in THF to give 1-[5-nitroindolyl-2- carbonyl]-4-[3-[(1-methylethyl)amino]-2-pyridinyl]piperazine. After the coupling reaction, intermediate reaction steps involved nitro-group reduction and N-ethenesulfonation. Finally, reaction of pyrrolidine with the resulting

(indolylcarbonyl)pyridinylpiperazine I [R1 = CO, Z1 = Q1 (n1 = n2 = 1), R6 = N, R10 = R9 = R8 = CH, R7 = NHPr-iso, X1 = CH2:CHSO2NH, X2 = H] in the presence of catalytic Cu in 5 mL refluxing xylene afforded I [X1 = 2-(1-pyrrolidino)ethylsulfonamido; R1, X1, X2, Z1, R7 - R10 = same as above].

AN 1993:254749 CAPLUS Full-text <<LOGINID::20070727>>

DN 118:254749

TI Preparation of substituted indoles as anti-AIDS pharmaceuticals

IN Romero, Donna Lee; Thomas, Richard Charles

PA Upjohn Co., USA

SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9301181	A1	19930121	WO 1992-US5067	19920623 <--
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AU 9222934	A	19930211	AU 1992-22934	19920623 <--
AU 653855	B2	19941013		
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			WO 1992-US5067 A	19920623
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			US 1991-725053 A	19910703
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			WO 1992-US5067 W	19920623
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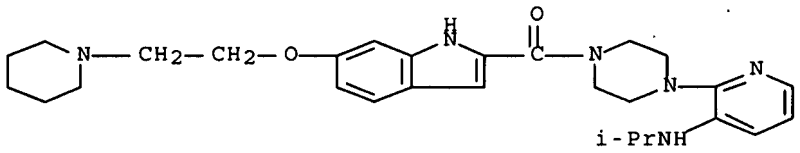
			US 1991-725053 A	19910703
			WO 1992-US5067 W	19920623
US 5599930	A	19970204	US 1994-197589	19940217 <--
			US 1991-725053 B2	19910703
			US 1993-176030 B1	19931230
US 5686610	A	19971111	US 1996-711822	19960910 <--
			US 1991-725053 B2	19910703
			US 1993-176030 B1	19931230
			US 1994-197589 A1	19940217

OS MARPAT 118:254749

IT 147540-33-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anti-AIDS drug)

RN 147540-33-8 CAPLUS

CN Piperazine, 1-[3-[(1-methylethyl)amino]-2-pyridinyl]-4-[[6-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

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L21         74 S L14 AND PY<2003
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L25          1 S L24

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L26          1 S L25

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L29          12 S L28 AND PY< 2004

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FULL ESTIMATED COST                                     84.79    1282.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                     -9.36     -56.94

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DICTIONARY FILE UPDATES:  26 JUL 2007  HIGHEST RN 943513-14-2

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  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

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predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
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FILE 'CAPLUS' ENTERED AT 12:18:43 ON 27 JUL 2007
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FILE COVERS 1907 - 27 Jul 2007 VOL 147 ISS 6
FILE LAST UPDATED: 26 Jul 2007 (20070726/ED)

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http://www.cas.org/infopolicy.html

=> s l31
L32 9 L31

=> d abs bib hitstr 1-9

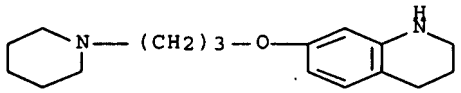
L32 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
AB The synthesis and biol. evaluation of novel tetrahydroisoquinoline, tetrahydroquinoline, and tetrahydroazepine antagonists of the human and rat H3 receptors are described. The substitution around these rings as well as the nature of the substituent on nitrogen is explored. Several compds. with high affinity and selectivity for the human and rat H3 receptors are reported.
AN 2006:499075 CAPLUS Full-text <<LOGINID::20070727>>
DN 145:167059
TI Synthesis and SAR of novel histamine H3 receptor antagonists
AU Jesudason, Cynthia D.; Beavers, Lisa S.; Cramer, Jeffrey W.; Dill, Joelle; Finley, Don R.; Lindsley, Craig W.; Stevens, F. Craig; Gadski, Robert A.; Oldham, Samuel W.; Pickard, R. Todd; Siedem, Christopher S.; Sindelar, Dana K.; Singh, Ajay; Watson, Brian M.; Hipskind, Philip A.
CS Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly & Company, Indianapolis, IN, 46285, USA
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3415-3418
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English

OS CASREACT 145:167059

IT 676254-93-6P 676254-97-0P 676255-04-2P
723242-04-4P 756817-53-5P 769920-49-2P
900809-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and SAR of novel tetrahydroisoquinoline, tetrahydroquinoline, and tetrahydroazepine histamine H3 receptor antagonists)

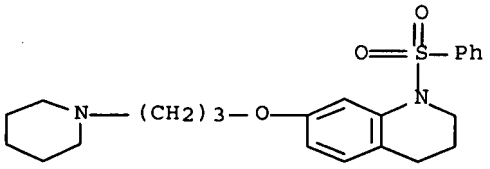
RN 676254-93-6 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



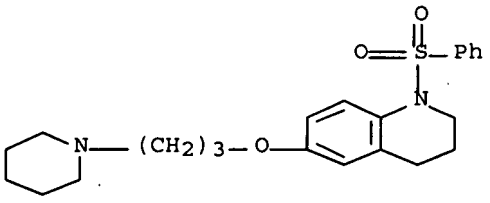
RN 676254-97-0 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



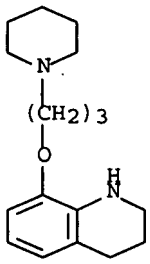
RN 676255-04-2 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

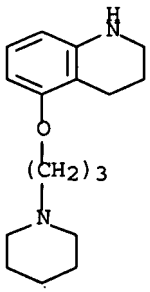


RN 723242-04-4 CAPLUS

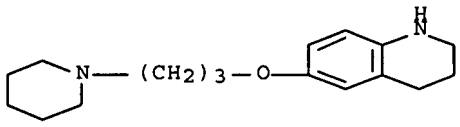
CN Quinoline, 1,2,3,4-tetrahydro-8-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



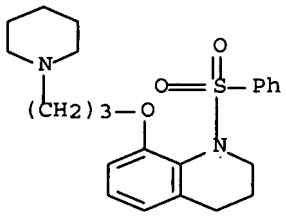
RN 756817-53-5 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-5-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 769920-49-2 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

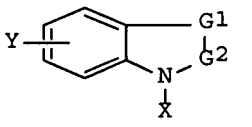


RN 900809-93-0 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-8-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
GI



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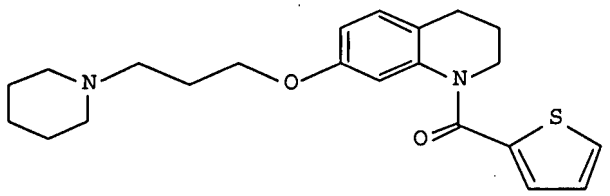


II

AB Title compds. I [G1 = CH2, CH2CH2; G2 = CH2, CO or G1-2 taken together combine to form CH:CH, CH2-CH:CH; Y = OCH2CH2N-piperidinyl, OCH2CH2CH2N-piperidinyl, etc.; X = H, acyl, alkyl, etc.] are prepared For instance, 7-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline (preparation given) is reacted with 2-thiophene carbonyl chloride (CH2Cl2, NEt3) to give II. II had Ki = 12.6 nM for the histamine H3 receptor. I are useful for the treatment of obesity.

AN 2004:267305 CAPLUS Full-text <<LOGINID::20070727>>

DN 140:287281

TI Preparation of substituted quinoline derivatives as histamine H3 receptor antagonists

IN Beavers, Lisa Selsam; Finley, Don Richard; Gadski, Robert Alan; Hipskind, Philip Arthur; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Stevens, Freddie Craig

PA Eli Lilly and Company, USA; Seidam, Christopher Stephen

SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2

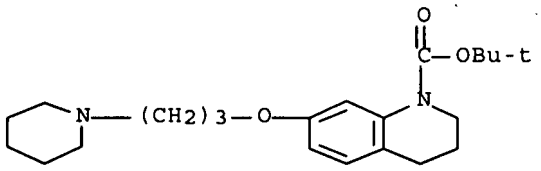
DT Patent

LA English

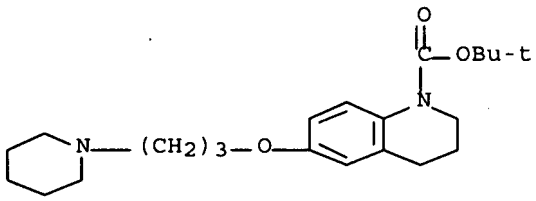
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004026837	A2	20040401	WO 2003-US25860	20030912
	WO 2004026837	A3	20050818		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003268117	A1	20040408	AU 2003-268117	20030912

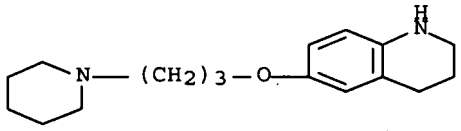
EP 1585731 A2 20051019 EP 2003-749068 20030912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006503056 T 20060126 JP 2004-537671 20030912
US 2006167046 A1 20060727 US 2005-525315 20050223
PRAI US 2002-411625P P 20020918
WO 2003-US25860 W 20030912
OS MARPAT 140:287281
IT 676254-91-4P, 7-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester 676254-99-2P, 6-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester 676255-00-8P, 6-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline dihydrochloride 676255-07-5P, 5-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline hydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted quinoline derivs. as histamine H3 receptor antagonists)
RN 676254-91-4 CAPLUS
CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-7-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 676254-99-2 CAPLUS
CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-6-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

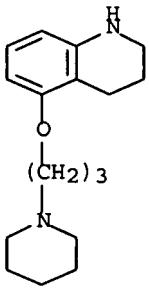


RN 676255-00-8 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-6-[3-(1-piperidinyl)propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



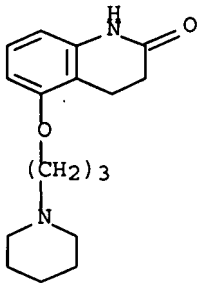
●2 HCl

RN 676255-07-5 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-5-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

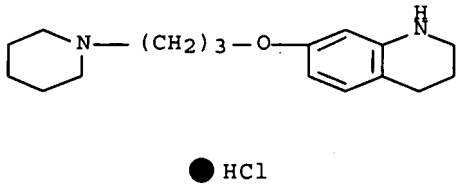


● HCl

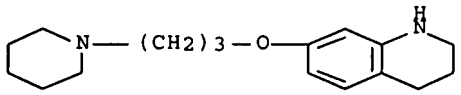
IT 58014-79-2P, 5-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-1H-quinolin-2-one 676254-92-5P, 7-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline hydrochloride 676254-93-6P, 7-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline 676254-97-0P, 1-Benzenesulfonyl-7-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline 676255-03-1P, 1-Benzenesulfonyl-6-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline hydrochloride 676255-04-2P, 1-Benzenesulfonyl-6-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted quinoline derivs. as histamine H3 receptor antagonists)
RN 58014-79-2 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



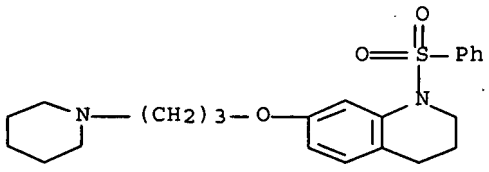
RN 676254-92-5 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-7-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



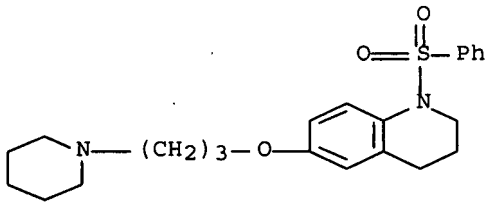
RN 676254-93-6 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 676254-97-0 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

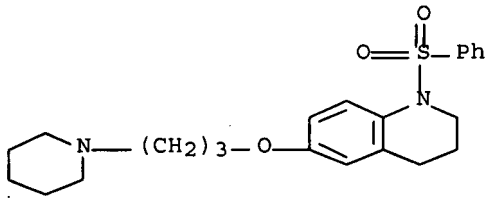


RN 676255-03-1 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-6-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

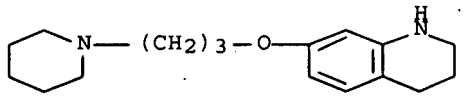


● HCl

RN 676255-04-2 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



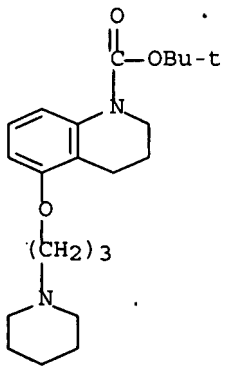
IT 676254-95-8, 7-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline dihydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted quinoline derivs. as histamine H3 receptor antagonists)
RN 676254-95-8 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-7-[3-(1-piperidinyl)propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

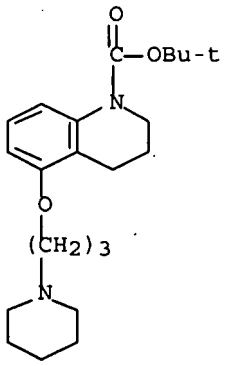
IT 676255-05-3P, 5-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester hydrochloride 676255-06-4P, 5-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester 676255-11-1P, 8-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester 676255-12-2P, 8-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline dihydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted quinoline derivs. as histamine H3 receptor antagonists)

RN 676255-05-3 CAPLUS
CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

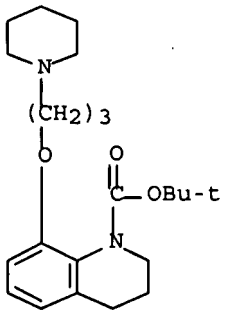


● HCl

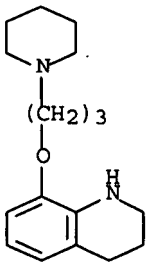
RN 676255-06-4 CAPLUS
CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 676255-11-1 CAPLUS
CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-8-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

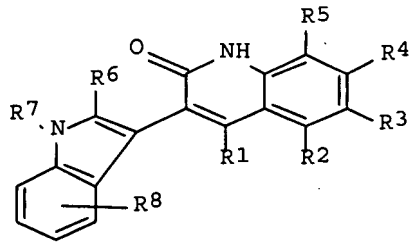


RN 676255-12-2 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-8-[3-(1-piperidinyl)propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L32 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
GI



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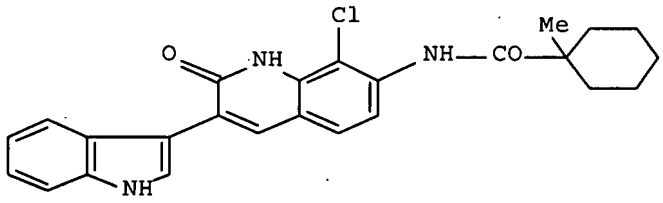


II

AB Title compds. [I; R1, R2 and R3, as for R4 and R5 equality or differing, the hydrogen atom, the halogen atom, the hydroxyl group and nitro group, the amino base, a low-grade alkyl group, and a low-grade alkoxy group et cetera; R6 is a hydrogen atom or a halogen atom; R7 the hydrogen atom or a low-grade alkyl group; R8 the hydrogen atom, the halogen atom and the low-grade alkyl group, a hydroxyl group, a carboxyl group and an amino base;etc.] and salts are prepared and is useful in medicine, by inhibiting the phosphorylation of the PDGF receptors. Title compds. have inhibition effect on smooth muscle multiplication and are useful as re-strangulation remedy agents and the nephritis remedy agents. Thus, the title compound II was prepared and tested.

AN 2001:235566 CAPLUS Full-text <<LOGINID::20070727>>

DN 134:266203

TI Preparation and application of benzopyranone derivatives

IN Kato, Susumu; Fujisawa, Akitaka; Nanayama, Toyomichi

PA Japan Tobacco, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 65 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

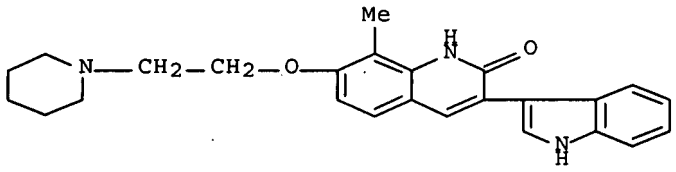
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001089471	A	20010403	JP 2000-214857	20000714
PRAI	JP 1999-206924	A	19990721		

OS MARPAT 134:266203

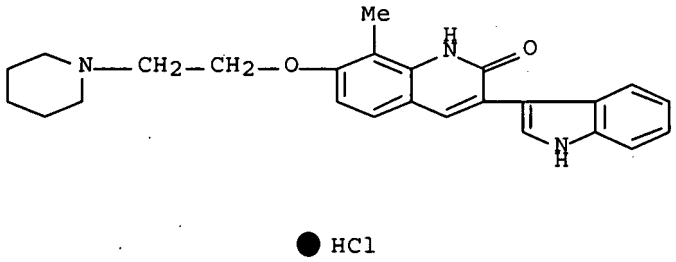
IT 332092-56-5P 332092-57-6P 332093-87-5P
332093-88-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and application of benzopyranone derivs.)

RN 332092-56-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-indol-3-yl)-8-methyl-7-[2-(1-piperidinyl)ethoxy]-(9CI) (CA INDEX NAME)

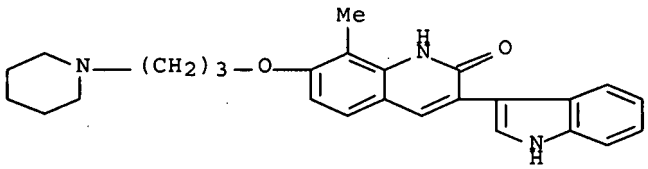


RN 332092-57-6 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-indol-3-yl)-8-methyl-7-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

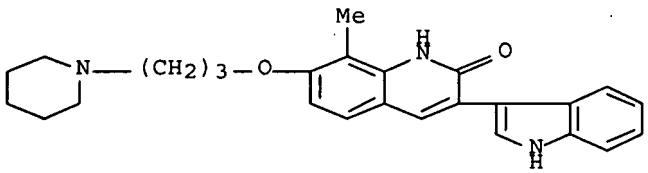


● HCl

RN 332093-87-5 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-indol-3-yl)-8-methyl-7-[3-(1-piperidinyl)propoxy]-(9CI) (CA INDEX NAME)



RN 332093-88-6 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-indol-3-yl)-8-methyl-7-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L32 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AB Fourteen 6-substituted 2(1H)-quinolinone derivs. were synthesized, among which 13 that had not been reported before. Antiplatelet aggregation activity test in vitro showed that 5 of these compds. had moderate activities. The structure and activity relationships for 5,6-substituents were studied.

AN 1998:660745 CAPLUS Full-text <<LOGINID::20070727>>

DN 130:66318

TI Synthesis and platelet aggregation inhibitory activity of 2(1H)-quinolinone derivatives

AU Huang, Dongping; Liu, Shaocheng; Wang, Rui; Chen, Lili

CS Department of Medicinal Chemistry, Shenyang Pharmaceutical University, Shenyang, 110015, Peop. Rep. China

SO Zhongguo Yaowu Huaxue Zazhi (1997), 7(4), 235-239
CODEN: ZYHZEF; ISSN: 1005-0108

PB Zhongguo Yaowu Huaxue Zazhi Bianjibu

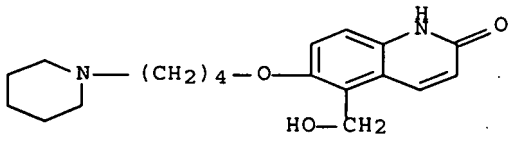
DT Journal

LA Chinese

IT 218137-59-8P 218137-66-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and platelet aggregation inhibitory activity of 2(1H)-quinolinone derivs.)

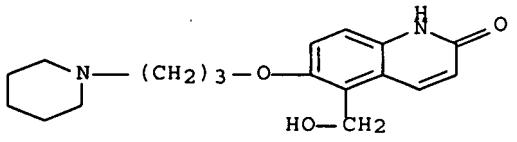
RN 218137-59-8 CAPLUS

CN 2(1H)-Quinolinone, 5-(hydroxymethyl)-6-[4-(1-piperidinyl)butoxy]- (9CI) (CA INDEX NAME)



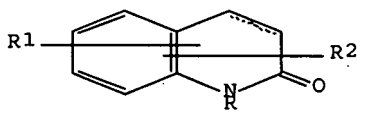
RN 218137-66-7 CAPLUS

CN 2(1H)-Quinolinone, 5-(hydroxymethyl)-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



L32 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

GI



I

AB Title compds. I [R = H, alkyl, phenylalkyl, Ph, (piperidinyl- or phenylalkyl-substituted) piperidinylalkyl; R1 = H, alkyl, alkenyl, halo alkoxy, (substituted) amino, etc.; R2 = H, OANR3R4; A = (OH- or Ph-substituted) alkylene, carbonylalkyl; R3, R4 = H, alkyl, Ph, (alkyl-substituted) phenoxyalkyl, hydroxyalkyl, (alkoxy-substituted) phenylalkyl; NR3R4 = (substituted) heterocyclyl which may contain O; dotted line may be a bond; when R2 = H, R1 = H and R = (piperidinyl- or phenylalkyl-substituted) piperidinylalkyl] are prepared A suspension of 8-chloropropoxycarbostyril (sic), 4-benzyloxypiperidine, (Me2CH)2NEt, and NaI in DMF was heated at 100° to give 8-[3-(4-benzyloxy-1- piperidinyl)propoxy]-3,4-dihydrocarbostyril isolated as its HCl salt. 8-[3-(4-Benzyl-1-piperidinyl)propoxy]-3,4-dihydrocarbostyril (II).HCl at 10 μmol showed 68.5 the tenth contraction and 28.6 the first delay contraction of cat's papillary muscle, vs. 0 for both contraction at 0 μM. A tablet was formulated containing II 5, starch 132, Mg stearate 18, and lactose 45 mg.

AN 1989:423403 CAPLUS Full-text <<LOGINID::20070727>>

DN 111:23403

TI Preparation of 2-oxoquinoline derivatives as antiarrhythmic agents

IN Tafusa, Fujio; Ei, Kazuyoshi; Tsutsui, Yoshinori

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

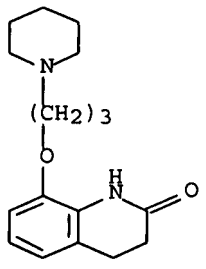
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63290821	A	19881128	JP 1987-129257	19870525
	JP 07252153	A	19951003	JP 1995-9415	19950125
PRAI	JP 1987-129257		19870525		

OS MARPAT 111:23403

IT 121200-98-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiarrhythmic)

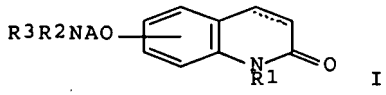
RN 121200-98-4 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-8-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L32 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
GI



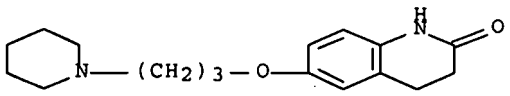
I

AB Aminoalkoxydihydrocarbostyrils (I, R1 = H, alkyl, or phenylalkyl; R2 and R3 = H, alkyl, cycloalkyl, etc.; A = alkylene) are cardiotonic agents. Thus, 6-(2-benzylaminoethoxy)-3,4-dihydrocarbostyril-HCl [82699-27-2] was prepared by treating 2-benzylaminoethyl chloride [42074-16-8] with 5-hydroxy-3,4-dihydrocarbostyril [30389-33-4]. Similarly, about 50 I were synthesized. The cardiotonic effects of 30 I were demonstrated in vitro using the heart isolated from dogs. Tablets were prepared containing 6-[3-(4-nitrobenzyl)aminopropoxy]-3,4-dihydrocarbostril [82699-30-7] 5, starch 132, Mg stearate 18, and lactose 45 mg/tablet.

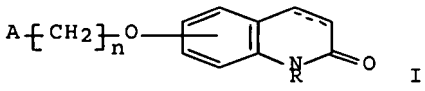
AN 1982:498357 CAPLUS Full-text <<LOGINID::20070727>>
DN 97:98357
TI Cardiotonic formulations containing aminoalkoxydihydrocarbostyrils.
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 34 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 57080322	A	19820519	JP 1980-156126	19801105
	JP 63038005	B	19880728		
PRAI	JP 1980-156126		19801105		

OS CASREACT 97:98357
IT 82699-68-1P
RL: THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiotonic agent)
RN 82699-68-1 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



L32 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
GI



I

AB Compns. contg. carbostyril derivs. I [R = H, lower alkyl, lower alkenyl, or aralkyl, n = 1-6; A = phthalimide or -NR1R2 (R1, R2 = H, lower alkyl, cycloalkyl; R1 and R2 might form a linkage through O or N) are local anesthetics. Thus, an ointment comprises 1-allyl-5-dimethylaminoethoxy- 3,4-dihydrocarbostyril [58014-63-4] 2, purified lanolin 5, bleached beeswax 5, and white petrolatum 88 g.

AN 1978:517855 CAPLUS Full-text <<LOGINID::20070727>>

DN 89:117855

TI Local anesthetics

IN Nakagawa, Kazuyuki

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

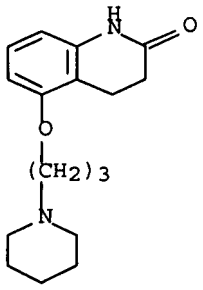
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 53003534	A	19780113	JP 1976-78421	19760630
	JP 55039525	B	19801013		
PRAI	JP 1976-78421	A	19760630		

IT 67161-93-7
RL: BIOL (Biological study)
(local anesthetic compns. containing)

RN 67161-93-7 CAPLUS

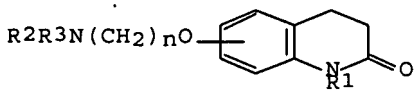
CN 2(1H)-Quinolinone, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



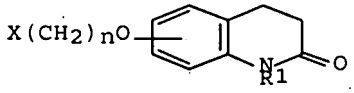
● HCl

L32 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

GI



I



II

AB 3,4-Dihydrocarbostyril I (R1 = H, lower alkyl, alkenyl, aralkyl; R2, R3 = H, lower alkyl, cycloalkyl; R2R3N may form a ring; n = 2-3) were prepared by reaction of haloalkoxy-3,4-dihydrocarbostyrils II (X = halo) with amines R2R3NH. I were useful as antiinflammatory and antithrombosis agents (no data). Thus, refluxing 4.5 g II (R1 = H, X = Cl, n = 2) with 14.6 g tert-BuNH2 12 hr and treating with HCl gave 0.2 g I. HCl (R1 = R3 = H, R2 = tert-Bu, n = 2, substitution is at position 5). Among 43 addnl. I prepared were the following (substitution is at position 5) (R1, R2, R3, n given): H, iso-Pr, H, 2 (as HCl salt); H, tert-Bu, H, 3 (as HCl salt); H, iso-Pr, H, 3 (as HCl salt); and H, Me, Me, 2.

AN 1976:135499 CAPLUS Full-text <<LOGINID::20070727>>

DN 84:135499

TI Aminoalkoxy-3,4-dihydrocarbostyrils

IN Nakagawa, Kazuyuki; Uchida, Minoru

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

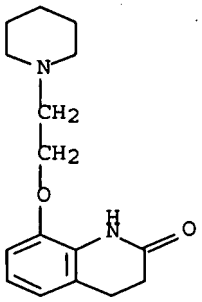
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 50142576	A	19751117	JP 1974-47346	19740425
PRAI	JP 1974-47346	A	19740425		

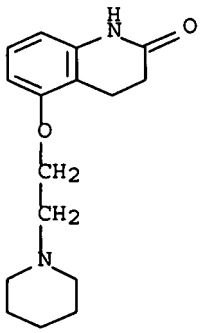
IT 58014-60-1P 58014-77-0P 58014-79-2P
58033-07-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

RN 58014-60-1 CAPLUS

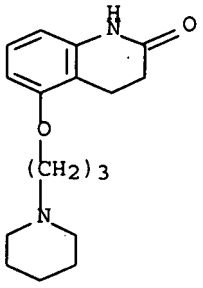
CN 2(1H)-Quinolinone, 3,4-dihydro-8-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



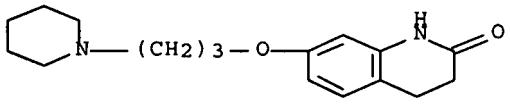
RN 58014-77-0 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 58014-79-2 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 58033-07-1 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



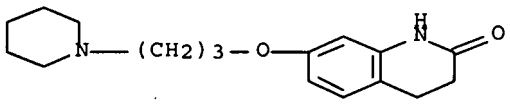
L32 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Aminoalkoxy-3,4-dihydrocarbostyril derivs. (I; R1 = H, alkyl, alkenyl; R2,R3 = lower alkyl, cycloalkyl; R2NR3 may form a heterocyclic ring; n = 2-3) were prepared by reaction of 3,4-dihydrocarbostyril derivs. (II) with haloamine derivs. X(CH2)nNR2R3 (X = halo). I had antiinflammatory and blood platelet coagulation inhibiting activities (no data). Thus, a mixture of 0.46 g Na and 3.2 g 5-hydroxy-3,4-dihydrocarbostyril in MeOH was refluxed 30 min, Cl(CH2)2NMe2 in C6H6 added, and the whole refluxed 4 hr to give 1.7 g 5-(2-

dimethylaminoethoxy)-3,4-dihydrocarbostyril. Among 23 addnl. I prepared were 1-methyl-5-(2-dimethylaminoethoxy)-3,4- dihydrocarbostyril oxalate, 1-benzyl-5-(2-dimethylaminoethoxy)-3,4- dihydrocarbostyril, 6-(2-morpholinoethoxy)-3,4-dihydrocarbostyril, and 7-(3-piperidinopropoxy)-3,4-dihydrocarbostyril.

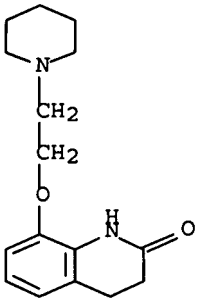
AN 1976:74110 CAPLUS Full-text <<LOGINID::20070727>>
DN 84:74110
TI Aminoalkoxy-3,4-dihydrocarbostyril derivatives
IN Nakagawa, Kazuyuki; Uchida, Minoru
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 50106977	A	19750822	JP 1974-15114	19740205
PRAI JP 1974-15114	A	19740205		

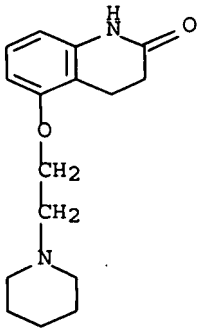
IT 58033-07-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 58033-07-1 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



IT 58014-60-1P 58014-77-0P 58014-79-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antiinflammatory and coagulation inhibition by)
RN 58014-60-1 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-8-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 58014-77-0 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 58014-79-2 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)